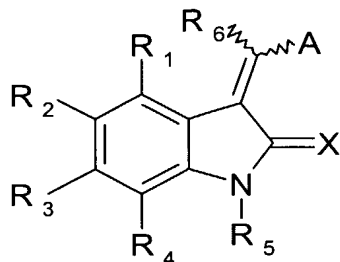


## CLAIMS

1. Use of a compound of general formula I



5 I

wherein

$R_1$ ,  $R_2$ ,  $R_3$  and  $R_4$  are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-OR_{10}$ ,  $-C(O)R_{10}$ ,  $-C(O)OR_{10}$ ,  $OC(O)R_{10}$ ,  $-NR_{10}R_{11}$ ,  $-C(O)NR_{10}R_{11}$ ,  $-NHC(O)R_{10}$ ,  $-SR_{10}$ ,  $-S(O)R_{10}$ ,  $-S(O)_2R_{10}$ ,  $-S(O)_2NR_{10}R_{11}$  and  $-S(O)OR_{10}$ , wherein  $R_{10}$  and  $R_{11}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{10}$  and  $R_{11}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-OR_{12}$ ,  $-C(O)R_{12}$ ,  $-C(O)OR_{12}$ ,  $-OC(O)R_{12}$ ,  $-NR_{12}R_{13}$ ,  $-C(O)NR_{12}R_{13}$ ,  $-NHC(O)R_{12}$ ,  $-SR_{12}$ ,  $-S(O)R_{12}$ ,  $-S(O)_2R_{12}$ ,  $-S(O)_2NR_{12}R_{13}$  and  $-S(O)OR_{12}$ , wherein  $R_{12}$  and  $R_{13}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{12}$  and  $R_{13}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$  alkylthio,  $C_{1-4}$  alkylamino,  $C_{1-4}$  alkoxycarbonyl, carboxy,  $-CONH_2$ ,  $S(O)NH_2$ , aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl,

heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub>alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>;

X is O or S;

- 5 R<sub>5</sub> is hydrogen, hydroxy, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, C<sub>1-6</sub> alkoxy, carbonyl, carboxy, amido, thioamido, guanyl, guanidiny, ureidyl, sulfonyl, trihalomethanesulfonyl, -C(O)OR<sub>14</sub>, -C(O)R<sub>14</sub>, wherein R<sub>14</sub> is hydrogen, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, cycloalkyl or aryl;

- R<sub>6</sub> is hydrogen, C<sub>1-6</sub> alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, halogen, -OR<sub>7</sub>, -  
 10 C(O)R<sub>7</sub>, -C(O)OR<sub>7</sub>, -NR<sub>7</sub>R<sub>8</sub>, S(O)<sub>2</sub>NR<sub>7</sub>R<sub>8</sub>, wherein R<sub>7</sub> and R<sub>8</sub> are independently hydrogen, C<sub>1-6</sub> alkyl, aryl or heterocyclyl, said C<sub>1-6</sub> alkyl or heterocyclyl being optionally substituted by heterocyclyl, -OR<sub>7</sub>, -C(O)R<sub>7</sub> or C(O)OR<sub>7</sub>, the zigzag line indicating that the group denoted R<sub>6</sub> is present as the E- or Z-isomer;

- A is phenyl or a monocyclic or bicyclic heteroaryl ring, optionally substituted at one or  
 15 more positions with hydrogen, halogen, trihalomethyl, C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>10</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub>, OC(O)R<sub>10</sub>, -NR<sub>10</sub>R<sub>11</sub>, -C(O)NR<sub>10</sub>R<sub>11</sub>, -NHC(O)R<sub>10</sub>, -SR<sub>10</sub>, -S(O)R<sub>10</sub>, -S(O)<sub>2</sub>R<sub>10</sub>, -S(O)<sub>2</sub>NR<sub>10</sub>R<sub>11</sub> and -S(O)OR<sub>10</sub>, wherein R<sub>10</sub> and R<sub>11</sub> are the same or different  
 20 and independently selected from the group consisting of hydrogen, C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R<sub>10</sub> and R<sub>11</sub>, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and

- 25 heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>12</sub>, -C(O)R<sub>12</sub>, -C(O)OR<sub>12</sub>, -OC(O)R<sub>12</sub>, -NR<sub>12</sub>R<sub>13</sub>, -C(O)NR<sub>12</sub>R<sub>13</sub>, -NHC(O)R<sub>12</sub>, -SR<sub>12</sub>, -S(O)R<sub>12</sub>, -S(O)<sub>2</sub>R<sub>12</sub>, -S(O)<sub>2</sub>NR<sub>12</sub>R<sub>13</sub> and -S(O)OR<sub>12</sub>, wherein R<sub>12</sub> and R<sub>13</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R<sub>12</sub> and R<sub>13</sub>, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally  
 35 substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo,

halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkoxy, carboxy, -CONH<sub>2</sub> or S(O)NH<sub>2</sub>, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkoxy, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>; the zigzag line indicating that the group denoted A is present as the E- or Z-isomer; or pharmaceutically acceptable salts thereof, for the preparation of a medicament for the prevention, treatment or amelioration of multiple sclerosis, or to delay of the onset of or reduce the relapse rate in multiple sclerosis.

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2. The use according to claim 1 wherein, in the compound of formula I, X is O or S;

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub> alkylaryl, C<sub>1-10</sub> alkylaryloxy, halogen, trihalomethyl, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>, SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OR<sub>18</sub>, CN, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub> is hydrogen, C<sub>1-6</sub> alkyl, heteroaryl or aryl, said C<sub>1-6</sub> alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR<sub>26</sub>R<sub>27</sub>, wherein R<sub>26</sub> and R<sub>27</sub> are independently hydrogen or C<sub>1-6</sub> alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R<sub>19</sub> is hydrogen, C<sub>1-6</sub> alkyl or aryl, and n is 0-3;

A is phenyl or a monocyclic or bicyclic heteroaryl ring selected from the group consisting of pyrrole, pyrazole, imidazole, 1,2,3-triazole, 1,2,4-triazole, oxazole, isoxazole, thiazole, isothiazole, 2-sulfonylfuran, 4-alkylfuran, 1,2,3-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3,4-oxatriazole, 1,2,3,5-oxatriazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,3,4-thiatriazole, 1,2,3,5-thiatriazole, tetrazole and indole, optionally substituted at one or more positions with C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub> alkylaryl, C<sub>1-10</sub> alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>, SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OH, CN, CH<sub>2</sub>OH, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub>, R<sub>19</sub> and n are as indicated above;

R<sub>5</sub> is hydrogen or C<sub>1-6</sub> alkyl; and

R<sub>6</sub> is hydrogen.

3. The use of claim 1 wherein, in the compound of formula I, R<sub>5</sub> is hydrogen.

4. The use of claim 1 wherein, in the compound of formula I, X is oxygen.

5. The use of claim 1 wherein, in the compound of formula I,  $R_1$ ,  $R_2$ ,  $R_3$  and  $R_4$  are the same or different and independently selected from hydrogen and  $C_{1-6}$  alkyl.

5 6. The use of claim 1 wherein, in the compound of formula I,  $R_6$  is hydrogen or COOH.

7. The use of any of claims 1-6 wherein, in the compound of formula I, A is pyrrole, phenyl or indole, said pyrrole, phenyl or indole being optionally substituted at one or more positions with  $C_{1-10}$  alkyl,  $C_{1-10}$  alkoxy, aryl, heteroaryl, aryloxy,  $C_{1-10}$  alkylaryl,  $C_{1-10}$  alkylaryloxy, halogen, trihalomethyl, a sugar residue,  $S(O)R_{18}$ ,  $S(O)_2R_{18}$ ,  
10  $S(O)_2NR_{18}R_{19}$ ,  $S(O)_3R_{18}$ ,  $SR_{18}$ ,  $NO_2$ ,  $NR_{18}R_{19}$ , OH, CN,  $CH_2OH$ ,  $C(O)R_{18}$ ,  $C(O)OR_{18}$ ,  $OC(O)R_{18}$ ,  $NHC(O)R_{18}$ ,  $(CH_2)_nC(O)_2R_{18}$  and  $C(O)NR_{18}R_{19}$ , wherein  $R_{18}$ ,  $R_{19}$  and n are as indicated in claim 2.

15 8. The use of claim 7 wherein, in the compound of formula I, A is pyrrole substituted at position 3 and 5 with  $C_{1-6}$  alkyl, or at position 3 with  $C_{1-6}$  alkyl and at position 5 with  $CH_2OH$ , COOH or a sugar residue, or at position 3 and 5 with  $C_{1-6}$  alkyl and at position 4 with halogen, or at position 5 with  $C(O)O-C_{1-6}$  alkyl, and at position 3 with  $C_{1-6}$  alkyl.

20 9. The use of claim 7 wherein, in the compound of formula I, A is phenyl substituted at position 2 and 5 with  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, halogen,  $C_{1-6}$  alkyl- $NR_{26}R_{27}$ , NH- $C_{1-6}$  alkyl- $NR_{26}R_{27}$  or O- $C_{1-6}$  alkyl- $NR_{26}R_{27}$ , wherein  $R_{26}$  and  $R_{27}$  are independently hydrogen or  $C_{1-6}$  alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring.

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10. The use of claim 7 wherein, in the compound of formula I, A is indole.

11. The use of claim 7 wherein the compound is 3-(3,5-dimethyl-1H-pyrrol-2-yl-methylene-1,3-dihydro-indol-2-one).

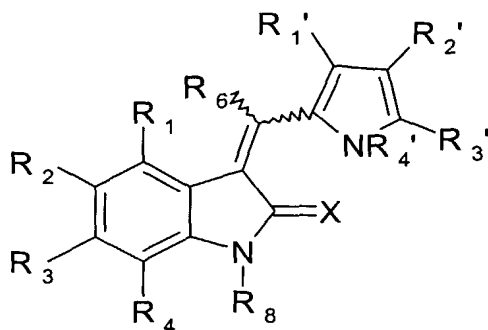
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12. The use of claim 7 wherein the compound is 3-(2,5-dimethoxy-benzylidene)-1,3-dihydroindol-2-one.

13. The use of claim 7 wherein the compound is 3-(1H-indol-3-ylmethylene)-1,3-dihydroindol-2-one.

35

14. The use of claim 1, wherein the compound is a compound of formula II



II

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_6$  and  $X$  are as indicated in claim 1,

$R_8$  and  $R_{4'}$  are independently hydrogen, hydroxy,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{2-6}$ alkynyl,

cycloalkyl, heterocyclyl, aryl, heteroaryl,  $C_{1-6}$ alkoxy, carbonyl, carboxy, amido, thioamido, guanyl, guanidynyl, ureidyl, sulfonyl, trihalomethanesulfonyl,  $-\text{PO}(\text{OR})(\text{OR}')$ ,

wherein  $R$  and  $R'$  are independently selected from hydrogen or  $C_{1-6}$  alkyl,  $-\text{OR}_{10}$ ,  $-\text{C}(\text{O})\text{R}_{10}$ ,  $-\text{C}(\text{O})\text{OR}_{10}$ ,  $\text{OC}(\text{O})\text{R}_{10}$ ,  $-\text{OC}(\text{O})\text{OR}_{10}$ ,  $-\text{NR}_{10}\text{R}_{11}$ ,  $-\text{C}(\text{O})\text{NR}_{10}\text{R}_{11}$ ,  $-\text{NHC}(\text{O})\text{R}_{10}$ ,  $-\text{SR}_{10}$ ,  $-\text{S}(\text{O})\text{R}_{10}$ ,  $-\text{S}(\text{O})_2\text{R}_{10}$ ,  $-\text{S}(\text{O})_2\text{NR}_{10}\text{R}_{11}$ ,  $-\text{S}(\text{O})\text{OR}_{10}$  and  $\text{CH}_2\text{-aryl-OR}_{10}$ , wherein  $R_{10}$  and  $R_{11}$

are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{10}$  and  $R_{11}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl,

heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-\text{OR}_{12}$ ,  $-\text{C}(\text{O})\text{R}_{12}$ ,  $-\text{C}(\text{O})\text{OR}_{12}$ ,  $-\text{OC}(\text{O})\text{R}_{12}$ ,  $-\text{OC}(\text{O})\text{OR}_{12}$ ,  $-\text{NR}_{12}\text{R}_{13}$ ,  $-\text{C}(\text{O})\text{NR}_{12}\text{R}_{13}$ ,  $-\text{OC}(\text{O})\text{NR}_{10}\text{R}_{11}$ ,  $-\text{NHC}(\text{O})\text{R}_{12}$ ,  $-\text{SR}_{12}$ ,  $-\text{S}(\text{O})\text{R}_{12}$ ,  $-\text{S}(\text{O})_2\text{R}_{12}$ ,  $-\text{S}(\text{O})_2\text{NR}_{12}\text{R}_{13}$  and  $-\text{S}(\text{O})\text{OR}_{12}$ , wherein  $R_{12}$  and  $R_{13}$  are the same or different and independently

selected from the group consisting of hydrogen,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{12}$  and  $R_{13}$ , together with the nitrogen atom to which they are attached form a heterocyclic or

heteroaryl ring, each  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$  alkylthio,  $C_{1-4}$  alkylamino,  $C_{1-4}$ alkoxycarbonyl, carboxy,  $-\text{CONH}_2$ ,  $-\text{S}(\text{O})\text{NH}_2$ , aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy,  $C_{1-4}$

alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub>alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>; -C(R<sub>24</sub>R<sub>25</sub>)-OR<sub>16</sub> or -OC(O)R<sub>16</sub>, wherein R<sub>16</sub> is hydrogen, C<sub>1-6</sub> alkyl, aralkyl, acyl or -PO(OR)(OR'), -C(R<sub>24</sub>R<sub>25</sub>)-NR<sub>26</sub>R<sub>27</sub>, wherein R<sub>24</sub> is hydrogen, C<sub>1-6</sub> alkyl or aryl, R<sub>25</sub> is hydrogen, and R<sub>26</sub> and R<sub>27</sub> are independently hydrogen or C<sub>1-6</sub> alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heteroaryl ring optionally substituted with hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>; -NR<sub>20</sub>R<sub>21</sub>, -O(CH<sub>2</sub>)<sub>m</sub>NR<sub>20</sub>R<sub>21</sub>, -N(CH<sub>2</sub>)<sub>m</sub>NR<sub>20</sub>R<sub>21</sub>, -O(CH<sub>2</sub>)<sub>m</sub>C(O)R<sub>22</sub>, -N(CH<sub>2</sub>)<sub>m</sub>C(O)R<sub>22</sub>, wherein m is 0, 1, 2 or 3, R<sub>20</sub> and R<sub>21</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, cycloalkyl, aryl, carbonyl, acetyl, trihalomethylcarbonyl, carboxy, sulfonyl or trihalomethanesulfonyl, or R<sub>20</sub> and R<sub>21</sub> together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, and R<sub>22</sub> is hydroxy, C<sub>1-6</sub> alkoxy, aryloxy, amino, hydroxylamino, carboxy or -NR<sub>20</sub>R<sub>21</sub>, wherein R<sub>20</sub> and R<sub>21</sub> are as indicated above; and R<sub>1</sub>', R<sub>2</sub>' and R<sub>3</sub>' are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl, C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>10</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub>, OC(O)R<sub>10</sub>, -NR<sub>10</sub>R<sub>11</sub>, -C(O)NR<sub>10</sub>R<sub>11</sub>, -NHC(O)R<sub>10</sub>, -SR<sub>10</sub>, -S(O)R<sub>10</sub>, -S(O)<sub>2</sub>R<sub>10</sub>, -S(O)<sub>2</sub>NR<sub>10</sub>R<sub>11</sub> and -S(O)OR<sub>10</sub>, wherein R<sub>10</sub> and R<sub>11</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R<sub>10</sub> and R<sub>11</sub>, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>12</sub>, -C(O)R<sub>12</sub>, -C(O)OR<sub>12</sub>, -OC(O)R<sub>12</sub>, -NR<sub>12</sub>R<sub>13</sub>, -C(O)NR<sub>12</sub>R<sub>13</sub>, OC(O)NR<sub>12</sub>R<sub>13</sub>, -NHC(O)R<sub>12</sub>, -SR<sub>12</sub>, -S(O)R<sub>12</sub>, -S(O)<sub>2</sub>R<sub>12</sub>, -S(O)<sub>2</sub>NR<sub>12</sub>R<sub>13</sub> and -S(O)OR<sub>12</sub>, wherein R<sub>12</sub> and R<sub>13</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R<sub>12</sub> and R<sub>13</sub>, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl

substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub>alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or S(O)NH<sub>2</sub>, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub>alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>.

10 15. The use of claim 14 wherein, in the compound of formula II, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>6</sub> and X are as indicated in claim 2, and R<sub>1</sub>' , R<sub>2</sub>' and R<sub>3</sub>' are the same or different and independently selected from the group consisting of with C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub> alkylaryl, C<sub>1-10</sub> alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>, SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OR<sub>18</sub>, CN, CH<sub>2</sub>OH, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>,  
15 wherein R<sub>18</sub> is hydrogen, C<sub>1-6</sub> alkyl, heteroaryl or aryl, said C<sub>1-6</sub> alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR<sub>26</sub>R<sub>27</sub>, wherein R<sub>26</sub> and R<sub>27</sub> are independently hydrogen or C<sub>1-6</sub> alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R<sub>19</sub> is hydrogen, C<sub>1-6</sub> alkyl or aryl,  
20 and n is 0-3.

16. The use of claim 15 wherein, in the compound of formula II, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are the same or different and independently selected from hydrogen, halogen and C<sub>1-6</sub> alkyl, or R<sub>2</sub> is hydroxy or heteroaryl, such as pyridyl, or a group C(O)R<sub>20</sub>, wherein R<sub>20</sub> is  
25 heteroaryl, such as pyridyl or thienyl, and R<sub>1</sub>, R<sub>3</sub> and R<sub>4</sub> are hydrogen.

17. The use of claim 15 wherein, in the compound of formula II, R<sub>1</sub>' , R<sub>2</sub>' and R<sub>3</sub>' are the same or different and independently selected from hydrogen, halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, CH<sub>2</sub>OH, C(O)OR<sub>18</sub> or C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub> and R<sub>19</sub> are as defined in claim  
30 15.

18. The use of claim 14 or 15 wherein, in the compound of formula II, R<sub>1</sub>' and R<sub>3</sub>' are both C<sub>1-6</sub> alkyl, in particular methyl, and R<sub>2</sub>' is hydrogen, or wherein R<sub>1</sub>' is C<sub>1-6</sub> alkyl and R<sub>3</sub>' is C<sub>1-6</sub> alkoxy, CH<sub>2</sub>OH, C(O)OR<sub>18</sub> or C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub> and R<sub>19</sub> are as defined  
35 in claim 15, or wherein R<sub>1</sub>' and R<sub>3</sub>' are both C<sub>1-6</sub> alkyl, in particular methyl, and R<sub>2</sub>' is halogen, in particular chloro or bromo, or wherein R<sub>1</sub>' is C<sub>1-6</sub> alkyl and R<sub>3</sub>' is C(O)O-C<sub>1-6</sub> alkyl, or wherein R<sub>1</sub>' is C<sub>1-6</sub> alkyl and R<sub>3</sub>' is C(O)NH-C<sub>1-6</sub>alkyl substituted with hydroxy.

19. The use of claim 14 wherein, in the compound of formula II,  $R_8$  and  $R_4'$  are independently hydrogen, hydroxy,  $-\text{PO}(\text{OR})(\text{OR}')$ ,  $-\text{OR}_{10}$ ,  $-\text{C}(\text{O})\text{OR}_{10}$ ,  $-\text{C}(\text{O})\text{NR}_{10}\text{R}_{11}$ ,  $-\text{C}(\text{O})\text{R}_{14}$ ,  $-\text{C}(\text{R}_{24}\text{R}_{25})\text{OR}_{16}$ ,  $-\text{OC}(\text{O})\text{R}_{16}$  or  $-\text{C}(\text{R}_{24}\text{R}_{25})\text{NR}_{26}\text{R}_{27}$ , wherein  $R$ ,  $R'$ ,  $R_{10}$ ,  $R_{11}$ ,  $R_{14}$ ,  $R_{16}$ ,  $R_{24}$ ,  $R_{25}$ ,  $R_{26}$ ,  $R_{27}$  are as defined in claim 14.

20. The use of claim 14 wherein the compound is selected from the group consisting of  
 3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 226)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid  
 ethyl ester (Compound 01)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-hydroxy-ethyl)-amide (Compound 02)  
 3-(5-hydroxymethyl-3-methyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 03)  
 1-[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrol-2-ylmethyl]-pyrrolidinium; chloride (Compound 04)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (Compound 05)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 06)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-methoxy-ethyl)-amide (Compound 07)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [3-(1-formyl-piperidin-4-yl)-propyl]-amide (Compound 08)  
 4-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-butyric acid methyl ester (Compound 09)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (6-hydroxy-hexyl)-amide (Compound 10)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid cyclohexylmethyl-amide (Compound 11)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (4-hydroxy-butyl)-amide (Compound 12)  
 6-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-hexanoic acid ethyl ester (Compound 13)  
 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide (Compound 14)



- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [2-(1H-indol-3-yl)-ethyl]-amide (Compound 15)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (3-phenyl-propyl)-amide (Compound 16)
- 5 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (4-phenyl-butyl)-amide (Compound 17)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (5-hydroxy-pentyl)-amide (Compound 18)
- 4-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-butyric acid ethyl ester (Compound 19)
- 10 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [1-(4-chloro-phenyl)-cyclopropylmethyl]-amide (Compound 20)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid benzyl ester (Compound 21)
- 15 3-(4-bromo-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 22)
- 3-(4-chloro-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 23)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-(4-methoxy-benzyl)-1,3-dihydro-indol-2-one (Compound 41)
- 20 3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-1-methyl-1,3-dihydro-indol-2-one (Compound 42)
- acetic acid 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-ylmethyl ester (Compound 43)
- 25 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 45)
- 3-(4-bromo-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 46)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-methoxy-1,3-dihydro-indol-2-one (Compound 49)
- 30 acetic acid 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-yl ester (Compound 51)
- 2-{3-[3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-yloxy]-propyl}-isoindole-1,3-dione (Compound 52)
- 35 2,4-Dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 227)

- 5-(5-Fluoro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 228)  
(3,5-dimethyl-1H-pyrrol-2-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid (Compound 229)
- 5 3-[2,4-Dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrol-3-yl]-propionic acid (Compound 230)  
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-4-iodo-1,3-dihydro-indol-2-one (Compound 231)  
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-methoxy-1,3-dihydro-indol-2-one  
10 (Compound 232)  
5-chloro-3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 233)  
3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 234)  
3-[5-(4-chloro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2,4-dimethyl-1H-pyrrol-3-yl]-  
15 propionic acid (Compound 235)  
4-chloro-3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 236)  
4-chloro-3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 237)
- 20 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-1H-indole-4-carboxylic acid (Compound 238)  
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-pyridin-3-yl-1,3-dihydro-indol-2-one (Compound 239)  
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-pyridin-3-yl-1,3-dihydro-indol-2-one;  
25 methanesulfonic acid (Compound 240)  
5-pyridin-3-yl-3-(1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 241)  
5-pyridin-3-yl-3-(1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one; methanesulfonic acid (Compound 242)  
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-hydroxy-1,3-dihydro-indol-2-one  
30 (Compound 243)  
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-fluoro-1,3-dihydro-indol-2-one (Compound 244)  
3-(1-methyl-1H-indol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 245)  
2,4-dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-3-carboxylic acid  
35 ethyl ester (Compound 246)  
4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid  
pyridin-4-ylmethyl ester (Compound 263)

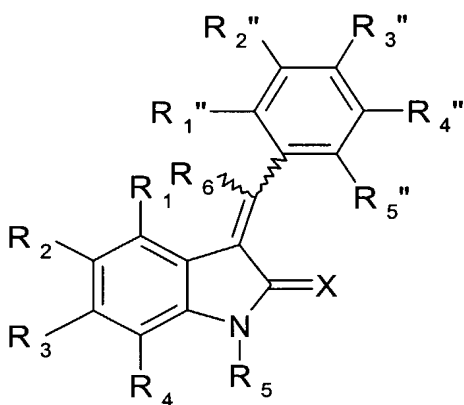
(3,5-dimethyl-1H-pyrrol-2-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid benzyl ester (Compound 264)

3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-pyrrolidin-1-ylmethyl-1,3-dihydro-indol-2-one (Compound 266)

5 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-(4-methyl-piperazin-1-ylmethyl)-1,3-dihydro-indol-2-one (Compound 267) and

3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-piperidin-1-ylmethyl-1,3-dihydro-indol-2-one (Compound 268)

10 21. The use of claim 1, wherein the compound is a compound of formula III



III

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $X$  are as indicated in claim 1, and

15  $R_1''$ ,  $R_2''$ ,  $R_3''$ ,  $R_4''$  and  $R_5''$  are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-OR_{10}$ ,  $-C(O)R_{10}$ ,  $-C(O)OR_{10}$ ,  $OC(O)R_{10}$ ,  $-NR_{10}R_{11}$ ,  $-C(O)NR_{10}R_{11}$ ,  $-NHC(O)R_{10}$ ,  $-SR_{10}$ ,  $-S(O)R_{10}$ ,  $-S(O)_2R_{10}$ ,  $-S(O)_2NR_{10}R_{11}$  and  $-S(O)OR_{10}$ , wherein  $R_{10}$  and  $R_{11}$  are the same or different

20 and independently selected from the group consisting of hydrogen,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{10}$  and  $R_{11}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and

25 heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,

halogen, -OR<sub>12</sub>, -C(O)R<sub>12</sub>, -C(O)OR<sub>12</sub>, -OC(O)R<sub>12</sub>, -NR<sub>12</sub>R<sub>13</sub>, -C(O)NR<sub>12</sub>R<sub>13</sub>, -NHC(O)R<sub>12</sub>, -SR<sub>12</sub>, -S(O)R<sub>12</sub>, -S(O)<sub>2</sub>R<sub>12</sub>, -S(O)<sub>2</sub>NR<sub>12</sub>R<sub>13</sub> and -S(O)OR<sub>12</sub>, wherein R<sub>12</sub> and R<sub>13</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R<sub>12</sub> and R<sub>13</sub>, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkoxycarbonyl, carboxy, -CONH<sub>2</sub>, S(O)NH<sub>2</sub>, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>.

22. The use of claim 21 wherein, in the compound of formula III, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and X are as indicated in claim 2, and R<sub>1</sub>"', R<sub>2</sub>"', R<sub>3</sub>"', R<sub>4</sub>"' and R<sub>5</sub>"' are the same or different and independently selected from the group consisting of with C<sub>1-10</sub>alkyl, C<sub>1-10</sub>alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub>alkylaryl, C<sub>1-10</sub>alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>, SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OR<sub>18</sub>, CN, CH<sub>2</sub>OH, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub> is hydrogen, C<sub>1-6</sub>alkyl, heteroaryl or aryl, said C<sub>1-6</sub> alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR<sub>26</sub>R<sub>27</sub>, wherein R<sub>26</sub> and R<sub>27</sub> are independently hydrogen or C<sub>1-6</sub> alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R<sub>19</sub> is hydrogen, C<sub>1-6</sub>alkyl or aryl, and n is 0-3.

23. The use of claim 22 wherein, in the compound of formula III, R<sub>2</sub>"' and R<sub>5</sub>"' are the same or different and independently are C<sub>1-6</sub>alkyl, in particular methyl, or C<sub>1-6</sub>alkoxy, in particular methoxy, or halogen, in particular chloro or bromo.

24. The use of claim 21 wherein, in the compound of formula III, R<sub>5</sub> is hydrogen, hydroxy, C(O)R<sub>14</sub> or C(O)OR<sub>14</sub>, wherein R<sub>14</sub> is as defined in claim 1.

25. The use of claim 21, wherein the compound is selected from the group consisting of 3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 110)

- 3-(5-dimethylaminomethyl-2-methoxy-benzylidene)-1,3-dihydro-indol-2-one  
(Compound 32)
- 3-{2-[(2-dimethylamino-ethyl)-methyl-amino]-5-methoxy-benzylidene}-1,3-dihydro-indol-2-one (Compound 33)
- 5 3-{4-[(2-dimethylamino-ethyl)-methyl-amino]-3',5'-dimethyl-biphenyl-3-ylmethylene}-1,3-dihydro-indol-2-one (Compound 34)
- 3-(2-dimethylaminomethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 35)
- 3-[2-(2-diethylamino-ethoxy)-5-methoxy-benzylidene]-1,3-dihydro-indol-2-one  
(Compound 36)
- 10 3-[2-(2-diethylamino-ethoxy)-5-methoxy-benzylidene]-1,3-dihydro-indol-2-one;  
hydrochloride (Compound 37)
- 3-[5-methoxy-2-(2-morpholin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one  
(Compound 38)
- 3-[5-methoxy-2-(2-piperidin-1-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one  
15 (Compound 39)
- 1-acetyl-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 44)
- 3-(2,5-dimethoxy-benzylidene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 48)
- 3-(2,5-dimethoxy-benzylidene)-1-methoxy-1,3-dihydro-indol-2-one (Compound 50)
- 3-(phenyl-4-tolyl-methylene)-1,3-dihydro-indol-2-one (Compound 53)
- 20 3-[bis-(4-methoxy-phenyl)-methylene]-1,3-dihydro-indol-2-one (Compound 54)
- 3-[1-(2,5-dimethoxy-phenyl)-ethylidene]-1,3-dihydro-indol-2-one (Compound 55)
- 3-(4-hydroxy-3,5-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 95)
- 3-(3,5-di-tert-butyl-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 96)
- 3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 97)
- 25 3-(2-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 98)
- 3-(2,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 99)
- 3-(2,6-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 100)
- 3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 101)
- 3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 102)
- 30 3-(2,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 103)
- 3-(2,5-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 104)
- 3-(2,6-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 105)
- 3-benzylidene-1,3-dihydro-indol-2-one (Compound 106)
- 3-(4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 107)
- 35 3-(2,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 108)
- 3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 109)
- 3-(3,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 111)

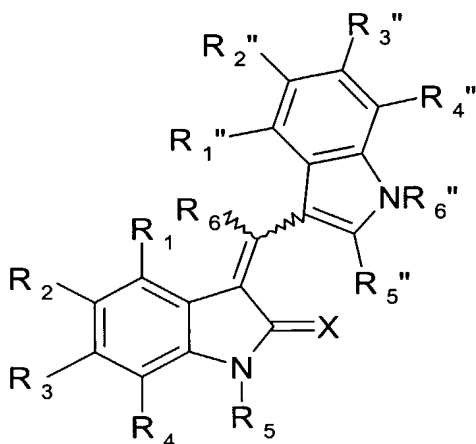
- 3-naphtalen-2-ylmethylene-1,3-dihydro-indol-2-one (Compound 112)  
3-naphtalen-1-ylmethylene-1,3-dihydro-indol-2-one (Compound 113)  
3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 114)  
3-(3-nitro-benzylidene)-1,3-dihydro-indol-2-one (Compound 115)  
5 3-(2-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 116)  
3-(3-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 117)  
3-(3-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 118)  
3-(4-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 119)  
3-anthracen-9-ylmethylene-1,3-dihydro-indol-2-one (Compound 120)  
10 3-(5-bromo-2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 121)  
3-(2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 122)  
5-chloro-3-(4-isopropyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 123)  
5-chloro-3-(4-dimethylamino-benzylidene)-1,3-dihydro-indol-2-one (Compound 124)  
5-chloro-3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 125)  
15 5-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 126)  
5-Chloro-3-(2-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 127)  
5-chloro-3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 128)  
5-Chloro-3-(2,6-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 129)  
5-Chloro-3-(2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 130)  
20 5-chloro-3-(4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 131)  
5-chloro-3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 132)  
5-chloro-3-naphtalen-1-ylmethylene-1,3-dihydro-indol-2-one (Compound 133)  
5-chloro-3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 134)  
5-chloro-3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 135)  
25 3-anthracen-9-ylmethylene-5-chloro-1,3-dihydro-indol-2-one (Compound 136)  
5-chloro-3-naphtalen-2-ylmethylene-1,3-dihydro-indol-2-one (Compound 137)  
5-chloro-3-(2,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 138)  
5-chloro-3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 139)  
5-chloro-3-(3,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 140)  
30 5-Chloro-3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 141)  
5-chloro-3-(3,5-di-tert-butyl-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one  
(Compound 142)  
5-chloro-3-(3,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 143)  
3-benzylidene-5-Chloro-1,3-dihydro-indol-2-one (Compound 144)  
35 5-chloro-3-(3-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 145)  
5-chloro-3-(2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 146)  
5-chloro-3-(2-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 147)

- 3-(3,5-dibromo-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 148)  
3-(3,4-difluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 149)  
3-(2-hydroxy-naphtalen-1-ylmethylene)-1,3-dihydro-indol-2-one (Compound 150)  
3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 151)  
5 3-(3,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 152)  
3-(3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 153)  
3-(2-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 154)  
3-(3-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 155)  
3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 156)  
10 3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 157)  
3-(3-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 158)  
3-(4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 159)  
3-(3-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 160)  
3-(2,4-dihydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 161)  
15 5-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 162)  
3-(3,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 163)  
3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 164)  
3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 165)  
3-(2-methoxy-naphtalen-1-ylmethylene)-1,3-dihydro-indol-2-one (Compound 166)  
20 3-(2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 167)  
3-(4-hydroxy-3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 168)  
3-(3-hydroxy-4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 169)  
5-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 170)  
6-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 171)  
25 7-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 172)  
3-(2,5-dimethoxy-benzylidene)-6-fluoro-1,3-dihydro-indol-2-one (Compound 173)  
3-(2,5-dimethoxy-benzylidene)-5-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 174)  
5-amino-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 175)  
30 6-chloro-5-(2-chloro-acetyl)-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 176)  
3-(2,5-dimethoxy-benzylidene)-5-hydroxy-1,3-dihydro-indol-2-one (Compound 177)  
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-5-carboxylic acid methyl ester (Compound 178)  
35 3-(9-ethyl-9H-carbazol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 179)  
3-(2-hydroxy-3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 180)  
3-(2,5-dimethoxy-benzylidene)-4,5-difluoro-1,3-dihydro-indol-2-one (Compound 181)

- 3-(3,5-dichloro-2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 182)  
3-(2,5-diethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 183)  
3-(2,5-dihydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 184)  
3-(2,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 185)  
5 3-(9-methyl-9H-carbazol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 186)  
3-(2-hydroxy-5-trifluoromethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 187)  
3-(1H-indol-5-ylmethylene)-1,3-dihydro-indol-2-one (Compound 188)  
3-(1H-indol-4-ylmethylene)-1,3-dihydro-indol-2-one (Compound 189)  
10 3-(1H-indol-7-ylmethylene)-1,3-dihydro-indol-2-one (Compound 190)  
3-(1,4-dimethyl-9H-carbazol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 191)  
3-(2-benzyloxy-4,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 192)  
3-(2,5-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 193)  
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-7-carbonitrile (Compound 194)  
15 3-(2,5-dimethoxy-benzylidene)-6-methanesulfonyl-1,3-dihydro-indol-2-one (Compound 195)  
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-5-carbonitrile (Compound 196)  
20 3-(2,5-dimethoxy-benzylidene)-6-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 197)  
3-(2,5-dimethoxy-benzylidene)-7-fluoro-1,3-dihydro-indol-2-one (Compound 198)  
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-6-carbonitrile (Compound 199)  
25 6-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 200)  
3-(2,5-dibromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 201)  
3-(5-bromo-2-ethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 202)  
3-(5-bromo-2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 203)  
3-(2-fluoro-5-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 204)  
30 3-(2,5-difluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 205)  
3-(2-chloro-5-nitro-benzylidene)-1,3-dihydro-indol-2-one (Compound 206)  
3-(2,5-bis-trifluoromethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 207)  
3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 208)  
3-(2-hydroxy-5-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 209)  
35 3-(1H-indol-6-ylmethylene)-1,3-dihydro-indol-2-one (Compound 210)  
3-(2,5-dimethoxy-benzylidene)-5-fluoro-1,3-dihydro-indol-2-one (Compound 211)  
3-[4-(quinolin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 212)



- 3-[4-(naphthalen-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 213)  
3-[3,5-dichloro-2-(quinolin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one  
(Compound 214)  
2-[4-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenoxy]-propionic acid (Compound  
5 215)  
2-benzyl-3-butylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-  
benzenesulfonamide (Compound 216)  
2-benzyl-3-benzylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-  
benzenesulfonamide (Compound 217)  
10 3-[(furan-2-ylmethyl)-amino]-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2-phenoxy-  
benzenesulfonamide (Compound 218)  
3-methylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2-phenoxy-  
benzenesulfonamide (Compound 219)  
2-benzyl-3-ethoxy-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-benzenesulfonamide  
15 (Compound 220)  
[2-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenoxy]-acetic acid (Compound 221)  
3-[4-(6-methyl-pyridin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound  
222)  
4-[4-(5-chloro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenyl]-piperazine-1-  
20 carbaldehyde (Compound 223)  
5-chloro-3-(4-isopropyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 224)  
4-[4-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenyl]-piperazine-1-carbaldehyde  
(Compound 225)  
3-[5-methoxy-2-(2-morpholin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one;  
25 hydrochloride (Compound 258)  
3-[5-methoxy-2-(2-piperidin-1-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one;  
hydrochloride (Compound 259)  
3-(2,5-dimethoxy-benzylidene)-5,7-difluoro-1,3-dihydro-indol-2-one (Compound 260)  
3-[4-(1-quinolin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 261)  
30 3-[4-(pyridin-4-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 262) and  
5-amino-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one; methanesulfonic acid  
(Compound 265)  
  
26. The use of claim 1 wherein the compound is a compound of general formula IV



IV

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $X$  are as indicated in claim 1,

$R_1''$ ,  $R_2''$ ,  $R_3''$ ,  $R_4''$  and  $R_5''$  are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-OR_{10}$ ,  $-C(O)R_{10}$ ,  $-C(O)OR_{10}$ ,  $OC(O)R_{10}$ ,  $-NR_{10}R_{11}$ ,  $-C(O)NR_{10}R_{11}$ ,  $-NHC(O)R_{10}$ ,  $-SR_{10}$ ,  $-S(O)R_{10}$ ,  $-S(O)_2R_{10}$ ,  $-S(O)_2NR_{10}R_{11}$  and  $-S(O)OR_{10}$ , wherein  $R_{10}$  and  $R_{11}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{10}$  and  $R_{11}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-OR_{12}$ ,  $-C(O)R_{12}$ ,  $-C(O)OR_{12}$ ,  $-OC(O)R_{12}$ ,  $-NR_{12}R_{13}$ ,  $-C(O)NR_{12}R_{13}$ ,  $-NHC(O)R_{12}$ ,  $-SR_{12}$ ,  $-S(O)R_{12}$ ,  $-S(O)_2R_{12}$ ,  $-S(O)_2NR_{12}R_{13}$  and  $-S(O)OR_{12}$ , wherein  $R_{12}$  and  $R_{13}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{12}$  and  $R_{13}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy,  $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$ -alkylthio,  $C_{1-4}$ -alkylamino,  $C_{1-4}$ -alkoxycarbonyl, carboxy, -

CONH<sub>2</sub>, S(O)NH<sub>2</sub>, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub>alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>; and  
 5 R<sub>6</sub>'' is hydrogen, heterocyclyl, heteroaryl, -C(O)R<sub>23</sub>, -S(O)<sub>2</sub>R<sub>23</sub>, -C(O)OR<sub>23</sub> or C<sub>1-6</sub>alkyl optionally substituted with heterocyclyl, heteroaryl or -C(O)OR<sub>23</sub>, wherein R<sub>23</sub> is hydrogen, C<sub>1-6</sub>alkyl, aryl, heteroaryl or heterocyclyl.

27. The use of claim 26 wherein, in the compound of formula IV, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and  
 10 X are as indicated in claim 2, and R<sub>1</sub>'', R<sub>2</sub>'', R<sub>3</sub>'', R<sub>4</sub>'' and R<sub>5</sub>'' are the same or different and independently selected from the group consisting of with C<sub>1-10</sub>alkyl, C<sub>1-10</sub>alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub>alkylaryl, C<sub>1-10</sub>alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>, SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OR<sub>18</sub>, CN, CH<sub>2</sub>OH, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>,  
 15 wherein R<sub>18</sub> is hydrogen, C<sub>1-6</sub>alkyl, heteroaryl or aryl, said C<sub>1-6</sub> alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR<sub>26</sub>R<sub>27</sub>, wherein R<sub>26</sub> and R<sub>27</sub> are independently hydrogen or C<sub>1-6</sub> alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R<sub>19</sub> is hydrogen, C<sub>1-6</sub>alkyl or aryl, and n is 0-3; and R<sub>6</sub>'' is hydrogen, C<sub>1-6</sub> alkyl, heteroaryl, heteroaryl-C<sub>1-6</sub> alkyl, C(O)R<sub>18</sub>,  
 20 C(O)OR<sub>18</sub> or S(O)<sub>2</sub>R<sub>18</sub>, wherein R<sub>18</sub> is as indicated above.

28. The use of claim 26 wherein, in the compound of formula IV, R<sub>5</sub>'' is hydrogen or C<sub>1-6</sub> alkyl.

25 29. The use of claim 26 wherein, in the compound of formula IV, R<sub>6</sub>'' is hydrogen or C<sub>1-6</sub> alkyl.

30. The use of claim 26 wherein, in the compound of formula IV, R<sub>5</sub> is hydrogen, hydroxy, C(O)R<sub>14</sub> or C(O)OR<sub>14</sub>, wherein R<sub>14</sub> is as defined in claim 1.

30

31. The use of claim 26 wherein the compound is selected from the group consisting of  
 3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 57)  
 [3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid methyl ester  
 (Compound 24)  
 35 [3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid ethyl ester  
 (Compound 25)  
 [3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid (Compound 26)

- 3-[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-propionic acid ethyl ester  
(Compound 27)
- 3-[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-propionic acid (Compound 28)
- 5 3-[1-(2-chloro-thiazol-5-ylmethyl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one  
(Compound 29)
- 3-(1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 30)
- 3-(1-propyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 31)
- 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-indole-1-carboxylic acid *tert*-butyl ester  
10 (Compound 40)
- 1-hydroxy-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 47)
- (1-Methyl-1H-indol-3-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid (Compound 56)
- 3-(2-phenyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 58)
- 15 3-(1-methyl-2-phenyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 59)
- 3-[2-(4-chloro-phenyl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 60)
- 3-(2-naphthalen-2-yl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 61)
- 20 5-chloro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 62)
- 3-(5-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 63)
- 5,7-difluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 64)
- 5-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 65)
- 6-fluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 66)
- 25 6-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 67)
- 5-hydroxy-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 68)
- 3-(4,5,6,7-tetrafluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 69)
- 3-(6-fluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 70)
- 30 3-[2-(4-chloro-phenyl)-5-nitro-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one  
(Compound 71)
- 7-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 72)
- 3-(6-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 73)
- 3-(7-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 74)
- 35 3-(2-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 75)
- 3-(5-fluoro-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 76)
- 3-(5-fluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 77)

3-(5-methoxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 78)

3-(5-benzyloxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 79)

3-(6-methoxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 80)

5 3-(5-methoxy-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 81)

3-(6-methoxy-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 82)

3-(4-benzyloxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 83)

3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-6-carbonitrile (Compound 84)

10 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-7-carbonitrile (Compound 85)

3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-5-carbonitrile (Compound 86)

7-fluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 87)

3-(1H-indol-3-ylmethylene)-6-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 88)

15 3-(1H-indol-3-ylmethylene)-6-methanesulfonyl-1,3-dihydro-indol-2-one (Compound 89)

3-(1H-indol-3-ylmethylene)-5-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 90)

3-(1H-indol-3-ylmethylene)-5,6-dimethoxy-1,3-dihydro-indol-2-one (Compound 91)

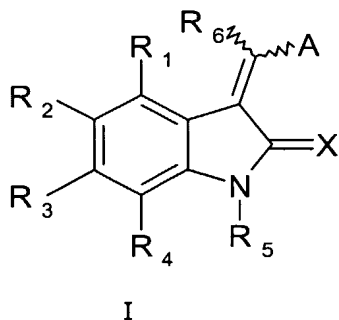
4,5-difluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 92)

3-(1H-indol-3-ylmethylene)-5-methoxy-1,3-dihydro-indol-2-one (Compound 92A)

20 6-chloro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 93) and

3-[1-Methyl-2-(4-methyl-piperazin-1-yl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 94)

32. A method of preventing, treating or ameliorating multiple sclerosis, or delaying the  
 25 onset of or reducing the relapse rate in multiple sclerosis, the method comprising administering, to a patient in need thereof, a pharmacologically effective amount of a compound of general formula I



30 wherein

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl, C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-

alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>10</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub>, OC(O)R<sub>10</sub>, -NR<sub>10</sub>R<sub>11</sub>, -C(O)NR<sub>10</sub>R<sub>11</sub>, -NHC(O)R<sub>10</sub>, -SR<sub>10</sub>, -S(O)R<sub>10</sub>, -S(O)<sub>2</sub>R<sub>10</sub>, -S(O)<sub>2</sub>NR<sub>10</sub>R<sub>11</sub> and -S(O)OR<sub>10</sub>, wherein R<sub>10</sub> and R<sub>11</sub> are the same or different  
 5 and independently selected from the group consisting of hydrogen, C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R<sub>10</sub> and R<sub>11</sub>, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and  
 10 heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>12</sub>, -C(O)R<sub>12</sub>, -C(O)OR<sub>12</sub>, -OC(O)R<sub>12</sub>, -NR<sub>12</sub>R<sub>13</sub>, -C(O)NR<sub>12</sub>R<sub>13</sub>, -NHC(O)R<sub>12</sub>, -SR<sub>12</sub>, -S(O)R<sub>12</sub>, -S(O)<sub>2</sub>R<sub>12</sub>, -S(O)<sub>2</sub>NR<sub>12</sub>R<sub>13</sub> and -S(O)OR<sub>12</sub>, wherein R<sub>12</sub> and R<sub>13</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R<sub>12</sub> and R<sub>13</sub>, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkoxycarbonyl, carboxy, -CONH<sub>2</sub>, S(O)NH<sub>2</sub>, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub>alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>;  
 25 X is O or S;  
 R<sub>5</sub> is hydrogen, hydroxy, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, C<sub>1-6</sub>alkoxy, carbonyl, carboxy, amido, thioamido, guanyl, guanidiny, ureidyl, sulfonyl, trihalomethanesulfonyl, -C(O)OR<sub>14</sub>, -C(O)R<sub>14</sub>, wherein R<sub>14</sub> is hydrogen, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, cycloalkyl or aryl;  
 30 R<sub>6</sub> is hydrogen, C<sub>1-6</sub>alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, halogen, -OR<sub>7</sub>, -C(O)R<sub>7</sub>, -C(O)OR<sub>7</sub>, -NR<sub>7</sub>R<sub>8</sub>, S(O)<sub>2</sub>NR<sub>7</sub>R<sub>8</sub>, wherein R<sub>7</sub> and R<sub>8</sub> are independently hydrogen, C<sub>1-6</sub>alkyl, aryl or heterocyclyl, said C<sub>1-6</sub>alkyl or heterocyclyl being optionally substituted by heterocyclyl, -OR<sub>7</sub>, -C(O)R<sub>7</sub> or C(O)OR<sub>7</sub>, the zigzag line indicating that the group denoted R<sub>6</sub> is present as the E- or Z-isomer;  
 35

A is phenyl or a monocyclic or bicyclic heteroaryl ring, optionally substituted at one or more positions with hydrogen, halogen, trihalomethyl, C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>10</sub>, -

5 C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub>, OC(O)R<sub>10</sub>, -NR<sub>10</sub>R<sub>11</sub>, -C(O)NR<sub>10</sub>R<sub>11</sub>, -NHC(O)R<sub>10</sub>, -SR<sub>10</sub>, -S(O)R<sub>10</sub>, -S(O)<sub>2</sub>R<sub>10</sub>, -S(O)<sub>2</sub>NR<sub>10</sub>R<sub>11</sub> and -S(O)OR<sub>10</sub>, wherein R<sub>10</sub> and R<sub>11</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R<sub>10</sub> and R<sub>11</sub>, together with the nitrogen atom to which they

10 are attached form a heterocyclic or heteroaryl ring, each of C<sub>1-12</sub>-alkyl, C<sub>2-12</sub>-alkenyl, C<sub>4-12</sub>-alkadienyl, C<sub>6-12</sub>-alkatrienyl, C<sub>2-12</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, hydroxy, carboxy, formyl, aryl,

15 heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>12</sub>, -C(O)R<sub>12</sub>, -C(O)OR<sub>12</sub>, -OC(O)R<sub>12</sub>, -NR<sub>12</sub>R<sub>13</sub>, -C(O)NR<sub>12</sub>R<sub>13</sub>, -NHC(O)R<sub>12</sub>, -SR<sub>12</sub>, -S(O)R<sub>12</sub>, -S(O)<sub>2</sub>R<sub>12</sub>, -S(O)<sub>2</sub>NR<sub>12</sub>R<sub>13</sub> and -S(O)OR<sub>12</sub>, wherein R<sub>12</sub> and R<sub>13</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl,

20 or wherein R<sub>12</sub> and R<sub>13</sub>, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl, C<sub>4-6</sub>-alkadienyl, C<sub>2-6</sub>-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo,

25 halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub> alkoxycarbonyl, carboxy, -CONH<sub>2</sub>, S(O)NH<sub>2</sub>, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub>alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>; the zigzag

30 line indicating that the group denoted A is present as the E- or Z-isomer; or pharmaceutically acceptable salts thereof.

33. The method of claim 32, wherein, in the compound of formula I,  
X is O or S;

35 R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are the same or different and independently selected from the group consisting of hydrogen, C<sub>1-10</sub>alkyl, C<sub>1-10</sub>alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub>alkylaryl, C<sub>1-10</sub>alkylaryloxy, halogen, trihalomethyl, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>,

SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OH, CN, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub> is hydrogen, C<sub>1-6</sub>alkyl, heteroaryl or aryl, said C<sub>1-6</sub> alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR<sub>26</sub>R<sub>27</sub>, wherein R<sub>26</sub> and R<sub>27</sub> are independently hydrogen or C<sub>1-6</sub> alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R<sub>19</sub> is hydrogen, C<sub>1-6</sub>alkyl or aryl, and n is 0-3;

A is phenyl or a monocyclic or bicyclic heteroaryl ring selected from the group consisting of pyrrole, pyrazole, imidazole, 1,2,3-triazole, 1,2,4-triazole, oxazole, isoxazole, thiazole, isothiazole, 2-sulfonylfuran, 4-alkylfuran, 1,2,3-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3,4-oxatriazole, 1,2,3,5-oxatriazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,3,4-thiatriazole, 1,2,3,5-thiatriazole, tetrazole and indole, optionally substituted at one or more positions with C<sub>1-10</sub>alkyl, C<sub>1-10</sub>alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub> alkylaryl, C<sub>1-10</sub> alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>, SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OR<sub>18</sub>, CN, CH<sub>2</sub>OH, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub>, R<sub>19</sub> and n are as indicated above;

R<sub>5</sub> is hydrogen or C<sub>1-6</sub>alkyl; and

R<sub>6</sub> is hydrogen.

34. The method of claim 33 wherein, in the compound of formula I, R<sub>5</sub> is hydrogen.

35. The method of claim 33 wherein, in the compound of formula I, X is oxygen.

36. The method of claim 33 wherein, in the compound of formula I, R<sub>6</sub> is hydrogen or COOH.

37. The method of claim 33 wherein, in the compound of formula I, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are the same or different and independently selected from hydrogen and C<sub>1-6</sub>alkyl.

38. The method of claim 33 wherein, in the compound of formula I, A is pyrrole, phenyl or indole, said pyrrole, phenyl or indole being optionally substituted at one or more positions with C<sub>1-10</sub>alkyl, C<sub>1-10</sub>alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub>alkylaryl, C<sub>1-10</sub>alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>, SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OR<sub>18</sub>, CN, CH<sub>2</sub>OH, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub>, R<sub>19</sub> and n are as indicated in claim 33.



39. The method of claim 38 wherein, in the compound of formula I, A is pyrrole substituted at position 3 and 5 with C<sub>1-6</sub>alkyl, or at position 3 with C<sub>1-6</sub>alkyl and at position 5 with CH<sub>2</sub>OH, COOH or a sugar residue, or or at position 3 and 5 with C<sub>1-6</sub>alkyl and at position 4 with halogen, or at position 5 with C(O)O-C<sub>1-6</sub>alkyl, and at position 3 with C<sub>1-6</sub>alkyl.

40. The method of claim 38 wherein, in the compound of formula I, A is phenyl substituted at position 2 and 5 with C<sub>1-6</sub> alkyl, C<sub>1-6</sub>alkoxy, halogen, C<sub>1-6</sub> alkyl-NR<sub>26</sub>R<sub>27</sub>, NH-C<sub>1-6</sub> alkyl-NR<sub>26</sub>R<sub>27</sub> or O-C<sub>1-6</sub> alkyl-NR<sub>26</sub>R<sub>27</sub>, wherein R<sub>26</sub> and R<sub>27</sub> are independently hydrogen or C<sub>1-6</sub> alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring.

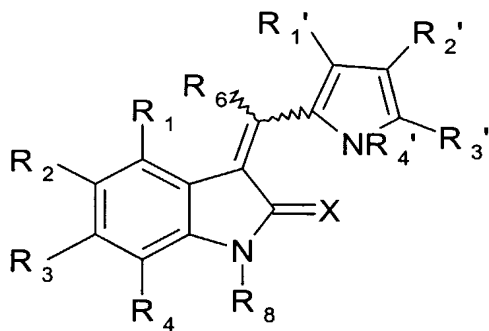
41. The method of claim 38 wherein, in the compound of formula I, A is indole.

42. The the method of claim 38 wherein the compound is 3-(3,5-dimethyl-1H-pyrrol-2-yl-methylene)-1,3-dihydro-indol-2-one.

43. The method of claim 38 wherein the compound is 3-(2,5-dimethoxy-benzylidene)-1,3-dihydroindol-2-one.

44. The method of claim 38 wherein the compound is 3-(1H-indol-3-ylmethylene)-1,3-dihydroindol-2-one.

45. The method of claim 32 wherein the compound is a compound of general formula II



II

wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and X are as indicated in claim 1, R<sub>8</sub> and R<sub>4</sub>' are independently hydrogen, hydroxy, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, C<sub>1-6</sub>alkoxy, carbonyl, carboxy, amido, thioamido, guanyl, guanidiny, ureidyl, sulfonyl, trihalomethanesulfonyl, -PO(OR)(OR'), wherein R and R' are independently selected from hydrogen or C<sub>1-6</sub> alkyl, , -OR<sub>10</sub>, -

$C(O)R_{10}$ ,  $-C(O)OR_{10}$ ,  $OC(O)R_{10}$ ,  $OC(O)OR_{10}$ ,  $-NR_{10}R_{11}$ ,  $-C(O)NR_{10}R_{11}$ ,  $-OC(O)NR_{10}R_{11}$ ,  $-OC(O)NR_{10}R_{11}$ ,  $-NHC(O)R_{10}$ ,  $-SR_{10}$ ,  $-S(O)R_{10}$ ,  $-S(O)_2R_{10}$ ,  $-S(O)_2NR_{10}R_{11}$ ,  $-S(O)OR_{10}$  and  $CH_2$ -aryl- $OR_{10}$ , wherein  $R_{10}$  and  $R_{11}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{10}$  and  $R_{11}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-OR_{12}$ ,  $-C(O)R_{12}$ ,  $-C(O)OR_{12}$ ,  $-OC(O)R_{12}$ ,  $OC(O)OR_{12}$ ,  $-NR_{12}R_{13}$ ,  $-C(O)NR_{12}R_{13}$ ,  $-OC(O)NR_{12}R_{13}$ ,  $-NHC(O)R_{12}$ ,  $-SR_{12}$ ,  $-S(O)R_{12}$ ,  $-S(O)_2R_{12}$ ,  $-S(O)_2NR_{12}R_{13}$  and  $-S(O)OR_{12}$ , wherein  $R_{12}$  and  $R_{13}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{12}$  and  $R_{13}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$  alkylthio,  $C_{1-4}$  alkylamino,  $C_{1-4}$ alkoxycarbonyl, carboxy,  $-CONH_2$ ,  $-S(O)NH_2$ , aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$  alkylthio,  $C_{1-4}$  alkylamino,  $C_{1-4}$ alkoxycarbonyl, carboxy,  $-CONH_2$  or  $-S(O)NH_2$ ;  $-C(R_{24}R_{25})-OR_{16}$  or  $-OC(O)R_{16}$ , wherein  $R_{16}$  is hydrogen,  $C_{1-6}$  alkyl, aralkyl, acyl or  $-PO(OR)(OR')$ ,  $-C(R_{24}R_{25})-NR_{26}R_{27}$ , wherein  $R_{24}$  is hydrogen,  $C_{1-6}$  alkyl or aryl,  $R_{25}$  is hydrogen, and  $R_{26}$  and  $R_{27}$  are independently hydrogen or  $C_{1-6}$  alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heteroaryl ring optionally substituted with hydrogen, hydroxy,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$  alkylthio,  $C_{1-4}$  alkylamino,  $C_{1-4}$ alkoxycarbonyl, carboxy,  $-CONH_2$  or  $-S(O)NH_2$ ;  $-NR_{20}R_{21}$ ,  $-O(CH_2)_mNR_{20}R_{21}$ ,  $-N(CH_2)_mNR_{20}R_{21}$ ,  $-O(CH_2)_mC(O)R_{22}$ ,  $-N(CH_2)_mC(O)R_{22}$ , wherein  $m$  is 0, 1, 2 or 3,  $R_{20}$  and  $R_{21}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl, cycloalkyl, aryl, carbonyl, acetyl, trihalomethylcarbonyl, carboxy, sulfonyl or trihalomethanesulfonyl, or  $R_{20}$  and  $R_{21}$  together with the nitrogen atom to which they

are attached form a heterocyclic or heteroaryl ring, and  $R_{22}$  is hydroxy,  $C_{1-6}$  alkoxy, aryloxy, amino, hydroxylamino, carboxy or  $-NR_{20}R_{21}$ , wherein  $R_{20}$  and  $R_{21}$  are as indicated above; and

$R_1'$ ,  $R_2'$  and  $R_3'$  are the same or different and independently selected from the group

- 5 consisting of hydrogen, halogen, trihalomethyl,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-OR_{10}$ ,  $-C(O)R_{10}$ ,  $-C(O)OR_{10}$ ,  $OC(O)R_{10}$ ,  $-NR_{10}R_{11}$ ,  $-C(O)NR_{10}R_{11}$ ,  $-NHC(O)R_{10}$ ,  $-SR_{10}$ ,  $-S(O)R_{10}$ ,  $-S(O)_2R_{10}$ ,  $-S(O)_2NR_{10}R_{11}$  and  $-S(O)OR_{10}$ , wherein  $R_{10}$  and  $R_{11}$  are the same or different
- 10 and independently selected from the group consisting of hydrogen,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{10}$  and  $R_{11}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and
- 15 heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-OR_{12}$ ,  $-C(O)R_{12}$ ,  $-C(O)OR_{12}$ ,  $-OC(O)R_{12}$ ,  $-NR_{12}R_{13}$ ,  $-C(O)NR_{12}R_{13}$ ,  $-NHC(O)R_{12}$ ,  $-SR_{12}$ ,  $-S(O)R_{12}$ ,  $-S(O)_2R_{12}$ ,  $-S(O)_2NR_{12}R_{13}$  and  $-S(O)OR_{12}$ , wherein  $R_{12}$  and  $R_{13}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{12}$  and  $R_{13}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each  $C_{1-16}$ -alkyl,  $C_{2-16}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally
- 25 substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy,  $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$ alkylthio,  $C_{1-4}$ alkylamino,  $C_{1-4}$ alkoxycarbonyl, carboxy,  $-CONH_2$ ,  $S(O)NH_2$ , aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen,
- 30 hydroxy,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$  alkylthio,  $C_{1-4}$  alkylamino,  $C_{1-4}$ alkoxycarbonyl, carboxy,  $-CONH_2$  or  $-S(O)NH_2$ .

46. The method of claim 45 wherein, in the compound of formula II,  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $X$  are as indicated in claim 33, and  $R_1'$ ,  $R_2'$  and  $R_3'$  are the same or different and independently selected from the group consisting of with  $C_{1-10}$ alkyl,  $C_{1-10}$ alkoxy, aryl, heteroaryl, aryloxy,  $C_{1-10}$ alkylaryl,  $C_{1-10}$ alkylaryloxy, halogen, trihalomethyl, a sugar

residue,  $S(O)R_{18}$ ,  $S(O)_2R_{18}$ ,  $S(O)_2NR_{18}R_{19}$ ,  $S(O)_3R_{18}$ ,  $SR_{18}$ ,  $NO_2$ ,  $NR_{18}R_{19}$ ,  $OR_{18}$ ,  $CN$ ,  $CH_2OH$ ,  $C(O)R_{18}$ ,  $C(O)OR_{18}$ ,  $OC(O)R_{18}$ ,  $NHC(O)R_{18}$ ,  $(CH_2)_nC(O)_2R_{18}$  and  $C(O)NR_{18}R_{19}$ , wherein  $R_{18}$  is hydrogen,  $C_{1-6}$ alkyl, heteroaryl or aryl, said  $C_{1-6}$  alkyl, heteroaryl or aryl being optionally substituted with hydroxy or  $NR_{26}R_{27}$ , wherein  $R_{26}$  and  $R_{27}$  are  
5 independently hydrogen or  $C_{1-6}$  alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring,  $R_{19}$  is hydrogen,  $C_{1-6}$ alkyl or aryl, and  $n$  is 0-3.

47. The method of claim 46 wherein, in the compound of formula II,  $R_1$ ,  $R_2$ ,  $R_3$  and  $R_4$   
10 are the same or different and independently selected from hydrogen, halogen and  $C_{1-6}$ alkyl, or  $R_2$  is hydroxy or heteroaryl, such as pyridyl, or a group  $C(O)R_{20}$ , wherein  $R_{20}$  is heteroaryl, such as pyridyl or thienyl, and  $R_1$ ,  $R_3$  and  $R_4$  are hydrogen.

48. The method of claim 46 wherein, in the compound of formula II,  $R_1'$ ,  $R_2'$  and  $R_3'$  are  
15 the same or different and independently selected from hydrogen, halogen,  $C_{1-6}$ alkyl,  $C_{1-6}$ alkoxy,  $CH_2OH$ ,  $C(O)OR_{18}$  or  $C(O)NR_{18}R_{19}$ , wherein  $R_{18}$  and  $R_{19}$  are as defined in claim 46.

49. The method of claim 45 or 46 wherein, in the compound of formula II,  $R_1'$  and  $R_3'$   
20 are both  $C_{1-6}$ alkyl, in particular methyl, and  $R_2'$  is hydrogen, or wherein  $R_1'$  is  $C_{1-6}$ alkyl and  $R_3'$  is  $C_{1-6}$ alkoxy,  $CH_2OH$ ,  $C(O)OR_{18}$  or  $C(O)NR_{18}R_{19}$ , wherein  $R_{18}$  and  $R_{19}$  are as defined in claim 46, or wherein  $R_1'$  and  $R_3'$  are both  $C_{1-6}$  alkyl, in particular methyl, and  $R_2'$  is halogen, in particular chloro or bromo, or wherein  $R_1'$  is  $C_{1-6}$ alkyl and  $R_3'$  is  $C(O)O-C_{1-6}$ alkyl, or wherein  $R_1'$  is  $C_{1-6}$ alkyl and  $R_3'$  is  $C(O)NH-C_{1-6}$ alkyl substituted with  
25 hydroxy.

50. The method of claim 45 wherein, in the compound of formula II,  $R_8$  and  $R_4'$  are  
independently hydrogen, hydroxy,  $-PO(OR)(OR')$ ,  $-OR_{10}$ ,  $-C(O)OR_{10}$ ,  $-C(O)NR_{10}R_{11}$ ,  $-C(O)R_{14}$ ,  $-C(R_{24}R_{25})OR_{16}$ ,  $-OC(O)R_{16}$  or  $-C(R_{24}R_{25})NR_{26}R_{27}$ , wherein  $R$ ,  $R'$ ,  $R_{10}$ ,  $R_{11}$ ,  $R_{14}$ ,  
30  $R_{16}$ ,  $R_{24}$ ,  $R_{25}$ ,  $R_{26}$ ,  $R_{27}$  are as defined in claim 45.

51. The method of claim 45 wherein the compound is selected from the group  
consisting of  
35 3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 226)  
4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid  
ethyl ester (Compound 01)

- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-hydroxy-ethyl)-amide (Compound 02)
- 3-(5-hydroxymethyl-3-methyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 03)
- 5 1-[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrol-2-ylmethyl]-pyrrolidinium; chloride (Compound 04)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (Compound 05)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 06)
- 10 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-methoxy-ethyl)-amide (Compound 07)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [3-(1-formyl-piperidin-4-yl)-propyl]-amide (Compound 08)
- 15 4-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-butyric acid methyl ester (Compound 09)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (6-hydroxy-hexyl)-amide (Compound 10)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid
- 20 cyclohexylmethyl-amide (Compound 11)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (4-hydroxy-butyl)-amide (Compound 12)
- 6-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-hexanoic acid ethyl ester (Compound 13)
- 25 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide (Compound 14)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [2-(1H-indol-3-yl)-ethyl]-amide (Compound 15)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (3-phenyl-propyl)-amide (Compound 16)
- 30 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (4-phenyl-butyl)-amide (Compound 17)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (5-hydroxy-pentyl)-amide (Compound 18)
- 35 4-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-butyric acid ethyl ester (Compound 19)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [1-(4-chloro-phenyl)-cyclopropylmethyl]-amide (Compound 20)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid benzyl ester (Compound 21)

5 3-(4-bromo-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 22)

3-(4-chloro-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 23)

10 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-(4-methoxy-benzyl)-1,3-dihydro-indol-2-one (Compound 41)

3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-1-methyl-1,3-dihydro-indol-2-one (Compound 42)

acetic acid 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-ylmethyl ester (Compound 43)

15 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 45)

3-(4-bromo-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 46)

20 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-methoxy-1,3-dihydro-indol-2-one (Compound 49)

acetic acid 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-yl ester (Compound 51)

2-{3-[3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-yloxy]-propyl}-isoindole-1,3-dione (Compound 52)

25 2,4-Dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 227)

5-(5-Fluoro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 228)

30 (3,5-dimethyl-1H-pyrrol-2-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid (Compound 229)

3-[2,4-Dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrol-3-yl]-propionic acid (Compound 230)

3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-4-iodo-1,3-dihydro-indol-2-one (Compound 231)

35 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-methoxy-1,3-dihydro-indol-2-one (Compound 232)

5-chloro-3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 233)

3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 234)

3-[5-(4-chloro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2,4-dimethyl-1H-pyrrol-3-yl]-  
5 propionic acid (Compound 235)

4-chloro-3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one  
(Compound 236)

4-chloro-3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 237)

10 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-1H-indole-4-carboxylic  
acid (Compound 238)

3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-pyridin-3-yl-1,3-dihydro-indol-2-one  
(Compound 239)

15 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-pyridin-3-yl-1,3-dihydro-indol-2-one;  
methanesulfonic acid (Compound 240)

5-pyridin-3-yl-3-(1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 241)

5-pyridin-3-yl-3-(1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one; methanesulfonic  
acid (Compound 242)

20 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-hydroxy-1,3-dihydro-indol-2-one  
(Compound 243)

3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-fluoro-1,3-dihydro-indol-2-one  
(Compound 244)

3-(1-methyl-1H-indol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 245)

25 2,4-dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-3-carboxylic acid  
ethyl ester (Compound 246)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid  
pyridin-4-ylmethyl ester (Compound 263)

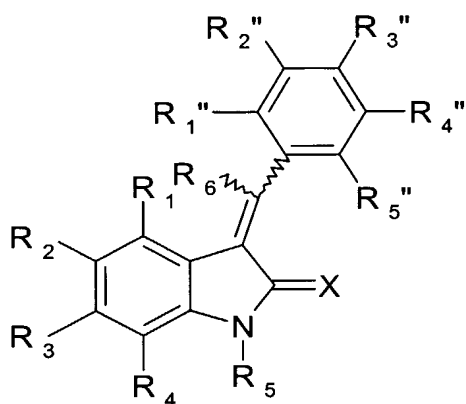
(3,5-dimethyl-1H-pyrrol-2-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid benzyl  
ester (Compound 264)

30 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-pyrrolidin-1-ylmethyl-1,3-dihydro-indol-2-  
one (Compound 266)

3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-(4-methyl-piperazin-1-ylmethyl)-1,3-  
dihydro-indol-2-one (Compound 267) and

35 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-piperidin-1-ylmethyl-1,3-dihydro-indol-2-  
one (Compound 268)

52. The method of claim 32, wherein the compound is a compound of formula III



III

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $X$  are as indicated in claim 1, and

- 5  $R_1''$ ,  $R_2''$ ,  $R_3''$ ,  $R_4''$  and  $R_5''$  are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,  $-OR_{10}$ ,  $-C(O)R_{10}$ ,  $-C(O)OR_{10}$ ,  $OC(O)R_{10}$ ,  $-NR_{10}R_{11}$ ,  $-C(O)NR_{10}R_{11}$ ,  $-NHC(O)R_{10}$ ,  $-SR_{10}$ ,  $-S(O)R_{10}$ ,  $-S(O)_2R_{10}$ ,  $-S(O)_2NR_{10}R_{11}$  and  $-S(O)OR_{10}$ , wherein  $R_{10}$  and  $R_{11}$  are the same or different
- 10 and independently selected from the group consisting of hydrogen,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{10}$  and  $R_{11}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different
- 15 substituents selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, halogen,  $-OR_{12}$ ,  $-C(O)R_{12}$ ,  $-C(O)OR_{12}$ ,  $-OC(O)R_{12}$ ,  $-NR_{12}R_{13}$ ,  $-C(O)NR_{12}R_{13}$ ,  $-NHC(O)R_{12}$ ,  $-SR_{12}$ ,  $-S(O)R_{12}$ ,  $-S(O)_2R_{12}$ ,  $-S(O)_2NR_{12}R_{13}$  and  $-S(O)OR_{12}$ , wherein  $R_{12}$  and  $R_{13}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{12}$  and  $R_{13}$ , together with the nitrogen atom to which they are
- 20 attached form a heterocyclic or heteroaryl ring, each  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$  alkylthio,  $C_{1-4}$  alkylamino,  $C_{1-4}$  alkoxycarbonyl, carboxy,
- 25



-CONH<sub>2</sub>, -S(O)NH<sub>2</sub>, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C<sub>1-4</sub> alkylthio, C<sub>1-4</sub> alkylamino, C<sub>1-4</sub>alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>.

5

53. The method of claim 52 wherein, in the compound of formula III, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and X are as indicated in claim 31, and R<sub>1</sub>"', R<sub>2</sub>"', R<sub>3</sub>"', R<sub>4</sub>"' and R<sub>5</sub>"' are the same or different and independently selected from the group consisting of with C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub> alkylaryl, C<sub>1-10</sub> alkylaryloxy, halogen,

10 trihalomethyl, a sugar residue, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>, SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OR<sub>18</sub>, CN, CH<sub>2</sub>OH, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub> is hydrogen, C<sub>1-6</sub> alkyl, heteroaryl or aryl, said C<sub>1-6</sub> alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR<sub>26</sub>R<sub>27</sub>, wherein R<sub>26</sub> and  
15 which they are attached, form a heteroaryl or heterocyclic ring, R<sub>19</sub> is hydrogen, C<sub>1-6</sub> alkyl or aryl, and n is 0-3.

54. The method of claim 53 wherein, in the compound of formula III, R<sub>2</sub>"' and R<sub>5</sub>"' are the same or different and independently are C<sub>1-6</sub> alkyl, in particular methyl, or C<sub>1-6</sub>  
20 alkoxy, in particular methoxy, or halogen, in particular chloro or bromo.

55. The method of claim 52 wherein, in the compound of formula III, R<sub>5</sub> is hydrogen, hydroxy, C(O)R<sub>14</sub> or C(O)OR<sub>14</sub>, wherein R<sub>14</sub> is as defined in claim 32.

25 56. The method of claim 52, wherein the compound is selected from the group consisting of

3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 110)

3-(5-dimethylaminomethyl-2-methoxy-benzylidene)-1,3-dihydro-indol-2-one  
(Compound 32)

30 3-{2-[(2-dimethylamino-ethyl)-methyl-amino]-5-methoxy-benzylidene}-1,3-dihydro-indol-2-one (Compound 33)

3-{4-[(2-dimethylamino-ethyl)-methyl-amino]-3',5'-dimethyl-biphenyl-3-ylmethylene}-1,3-dihydro-indol-2-one (Compound 34)

3-(2-dimethylaminomethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 35)

35 3-[2-(2-diethylamino-ethoxy)-5-methoxy-benzylidene]-1,3-dihydro-indol-2-one  
(Compound 36)

- 3-[2-(2-diethylamino-ethoxy)-5-methoxy-benzylidene]-1,3-dihydro-indol-2-one;  
hydrochloride (Compound 37)
- 3-[5-methoxy-2-(2-morpholin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one  
(Compound 38)
- 5 3-[5-methoxy-2-(2-piperidin-1-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one  
(Compound 39)
- 1-acetyl-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 44)
- 3-(2,5-dimethoxy-benzylidene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 48)
- 3-(2,5-dimethoxy-benzylidene)-1-methoxy-1,3-dihydro-indol-2-one (Compound 50)
- 10 3-(phenyl-4-tolyl-methylene)-1,3-dihydro-indol-2-one (Compound 53)
- 3-[bis-(4-methoxy-phenyl)-methylene]-1,3-dihydro-indol-2-one (Compound 54)
- 3-[1-(2,5-dimethoxy-phenyl)-ethylidene]-1,3-dihydro-indol-2-one (Compound 55)
- 3-(4-hydroxy-3,5-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 95)
- 3-(3,5-di-tert-butyl-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 96)
- 15 3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 97)
- 3-(2-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 98)
- 3-(2,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 99)
- 3-(2,6-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 100)
- 3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 101)
- 20 3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 102)
- 3-(2,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 103)
- 3-(2,5-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 104)
- 3-(2,6-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 105)
- 3-benzylidene-1,3-dihydro-indol-2-one (Compound 106)
- 25 3-(4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 107)
- 3-(2,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 108)
- 3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 109)
- 3-(3,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 111)
- 3-naphtalen-2-ylmethylene-1,3-dihydro-indol-2-one (Compound 112)
- 30 3-naphtalen-1-ylmethylene-1,3-dihydro-indol-2-one (Compound 113)
- 3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 114)
- 3-(3-nitro-benzylidene)-1,3-dihydro-indol-2-one (Compound 115)
- 3-(2-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 116)
- 3-(3-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 117)
- 35 3-(3-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 118)
- 3-(4-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 119)
- 3-anthracen-9-ylmethylene-1,3-dihydro-indol-2-one (Compound 120)

- 3-(5-bromo-2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 121)  
3-(2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 122)  
5-chloro-3-(4-isopropyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 123)  
5-chloro-3-(4-dimethylamino-benzylidene)-1,3-dihydro-indol-2-one (Compound 124)  
5 5-chloro-3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 125)  
5-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 126)  
5-Chloro-3-(2-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 127)  
5-chloro-3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 128)  
5-Chloro-3-(2,6-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 129)  
10 5-Chloro-3-(2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 130)  
5-chloro-3-(4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 131)  
5-chloro-3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 132)  
5-chloro-3-naphtalen-1-ylmethylene-1,3-dihydro-indol-2-one (Compound 133)  
5-chloro-3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 134)  
15 5-chloro-3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 135)  
3-anthracen-9-ylmethylene-5-chloro-1,3-dihydro-indol-2-one (Compound 136)  
5-chloro-3-naphtalen-2-ylmethylene-1,3-dihydro-indol-2-one (Compound 137)  
5-chloro-3-(2,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 138)  
5-chloro-3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 139)  
20 5-chloro-3-(3,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 140)  
5-Chloro-3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 141)  
5-chloro-3-(3,5-di-tert-butyl-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one  
(Compound 142)  
5-chloro-3-(3,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 143)  
25 3-benzylidene-5-Chloro-1,3-dihydro-indol-2-one (Compound 144)  
5-chloro-3-(3-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 145)  
5-chloro-3-(2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 146)  
5-chloro-3-(2-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 147)  
3-(3,5-dibromo-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 148)  
30 3-(3,4-difluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 149)  
3-(2-hydroxy-naphtalen-1-ylmethylene)-1,3-dihydro-indol-2-one (Compound 150)  
3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 151)  
3-(3,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 152)  
3-(3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 153)  
35 3-(2-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 154)  
3-(3-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 155)  
3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 156)

- 3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 157)  
3-(3-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 158)  
3-(4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 159)  
3-(3-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 160)  
5 3-(2,4-dihydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 161)  
5-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 162)  
3-(3,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 163)  
3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 164)  
3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 165)  
10 3-(2-methoxy-naphtalen-1-ylmethylene)-1,3-dihydro-indol-2-one (Compound 166)  
3-(2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 167)  
3-(4-hydroxy-3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 168)  
3-(3-hydroxy-4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 169)  
5-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 170)  
15 6-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 171)  
7-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 172)  
3-(2,5-dimethoxy-benzylidene)-6-fluoro-1,3-dihydro-indol-2-one (Compound 173)  
3-(2,5-dimethoxy-benzylidene)-5-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 174)  
20 5-amino-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 175)  
6-chloro-5-(2-chloro-acetyl)-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 176)  
3-(2,5-dimethoxy-benzylidene)-5-hydroxy-1,3-dihydro-indol-2-one (Compound 177)  
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-5-carboxylic acid methyl  
25 ester (Compound 178)  
3-(9-ethyl-9H-carbazol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 179)  
3-(2-hydroxy-3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 180)  
3-(2,5-dimethoxy-benzylidene)-4,5-difluoro-1,3-dihydro-indol-2-one (Compound 181)  
3-(3,5-dichloro-2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 182)  
30 3-(2,5-diethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 183)  
3-(2,5-dihydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 184)  
3-(2,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 185)  
3-(9-methyl-9H-carbazol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 186)  
3-(2-hydroxy-5-trifluoromethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound  
35 187)  
3-(1H-indol-5-ylmethylene)-1,3-dihydro-indol-2-one (Compound 188)  
3-(1H-indol-4-ylmethylene)-1,3-dihydro-indol-2-one (Compound 189)

- 3-(1H-indol-7-ylmethylene)-1,3-dihydro-indol-2-one (Compound 190)  
3-(1,4-dimethyl-9H-carbazol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 191)  
3-(2-benzyloxy-4,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 192)  
3-(2,5-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 193)  
5 3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-7-carbonitrile (Compound 194)  
3-(2,5-dimethoxy-benzylidene)-6-methanesulfonyl-1,3-dihydro-indol-2-one (Compound 195)  
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-5-carbonitrile (Compound  
10 196)  
3-(2,5-dimethoxy-benzylidene)-6-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 197)  
3-(2,5-dimethoxy-benzylidene)-7-fluoro-1,3-dihydro-indol-2-one (Compound 198)  
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-6-carbonitrile (Compound  
15 199)  
6-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 200)  
3-(2,5-dibromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 201)  
3-(5-bromo-2-ethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 202)  
3-(5-bromo-2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 203)  
20 3-(2-fluoro-5-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 204)  
3-(2,5-difluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 205)  
3-(2-chloro-5-nitro-benzylidene)-1,3-dihydro-indol-2-one (Compound 206)  
3-(2,5-bis-trifluoromethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 207)  
3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 208)  
25 3-(2-hydroxy-5-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 209)  
3-(1H-indol-6-ylmethylene)-1,3-dihydro-indol-2-one (Compound 210)  
3-(2,5-dimethoxy-benzylidene)-5-fluoro-1,3-dihydro-indol-2-one (Compound 211)  
3-[4-(quinolin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 212)  
3-[4-(naphthalen-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 213)  
30 3-[3,5-dichloro-2-(quinolin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one  
(Compound 214)  
2-[4-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenoxy]-propionic acid (Compound  
215)  
2-benzyl-3-butylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-  
35 benzenesulfonamide (Compound 216)  
2-benzyl-3-benzylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-  
benzenesulfonamide (Compound 217)

3-[(furan-2-ylmethyl)-amino]-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2-phenoxy-benzenesulfonamide (Compound 218)

3-methylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2-phenoxy-benzenesulfonamide (Compound 219)

5 2-benzyl-3-ethoxy-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-benzenesulfonamide (Compound 220)

[2-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenoxy]-acetic acid (Compound 221)

3-[4-(6-methyl-pyridin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 222)

10 4-[4-(5-chloro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenyl]-piperazine-1-carbaldehyde (Compound 223)

5-chloro-3-(4-isopropyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 224)

4-[4-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenyl]-piperazine-1-carbaldehyde (Compound 225)

15 3-[5-methoxy-2-(2-morpholin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one; hydrochloride (Compound 258)

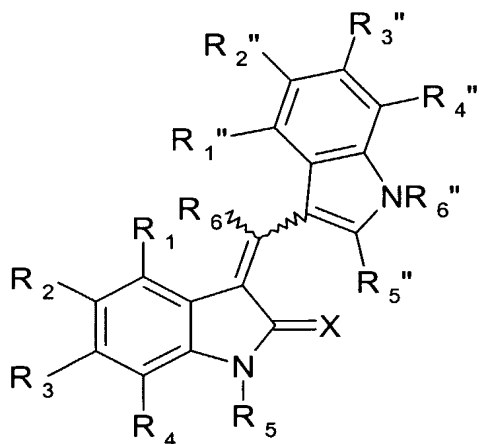
3-[5-methoxy-2-(2-piperidin-1-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one; hydrochloride (Compound 259)

3-(2,5-dimethoxy-benzylidene)-5,7-difluoro-1,3-dihydro-indol-2-one (Compound 260)

20 3-[4-(1-quinolin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 261)

3-[4-(pyridin-4-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 262) and 5-amino-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one; methanesulfonic acid (Compound 265)

25 57. The method of claim 32 wherein the compound is a compound of general formula IV



IV

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $X$  are as indicated in claim 1,

$R_1''$ ,  $R_2''$ ,  $R_3''$ ,  $R_4''$  and  $R_5''$  are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>10</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub>, OC(O)R<sub>10</sub>, -NR<sub>10</sub>R<sub>11</sub>, -C(O)NR<sub>10</sub>R<sub>11</sub>, -NHC(O)R<sub>10</sub>, -SR<sub>10</sub>, -S(O)R<sub>10</sub>, -S(O)<sub>2</sub>R<sub>10</sub>, -S(O)<sub>2</sub>NR<sub>10</sub>R<sub>11</sub> and -S(O)OR<sub>10</sub>, wherein  $R_{10}$  and  $R_{11}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{10}$  and  $R_{11}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of  $C_{1-12}$ -alkyl,  $C_{2-12}$ -alkenyl,  $C_{4-12}$ -alkadienyl,  $C_{6-12}$ -alkatrienyl,  $C_{2-12}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR<sub>12</sub>, -C(O)R<sub>12</sub>, -C(O)OR<sub>12</sub>, -OC(O)R<sub>12</sub>, -NR<sub>12</sub>R<sub>13</sub>, -C(O)NR<sub>12</sub>R<sub>13</sub>, -NHC(O)R<sub>12</sub>, -SR<sub>12</sub>, -S(O)R<sub>12</sub>, -S(O)<sub>2</sub>R<sub>12</sub>, -S(O)<sub>2</sub>NR<sub>12</sub>R<sub>13</sub> and -S(O)OR<sub>12</sub>, wherein  $R_{12}$  and  $R_{13}$  are the same or different and independently selected from the group consisting of hydrogen,  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein  $R_{12}$  and  $R_{13}$ , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each  $C_{1-6}$ -alkyl,  $C_{2-6}$ -alkenyl,  $C_{4-6}$ -alkadienyl,  $C_{2-6}$ -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy,  $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$ alkylthio,  $C_{1-4}$ alkylamino,  $C_{1-4}$ alkoxycarbonyl, carboxy, -CONH<sub>2</sub>, S(O)NH<sub>2</sub>, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl,  $C_{1-4}$  alkylthio,  $C_{1-4}$  alkylamino,  $C_{1-4}$ alkoxycarbonyl, carboxy, -CONH<sub>2</sub> or -S(O)NH<sub>2</sub>; and  $R_6''$  is hydrogen, heterocyclyl, heteroaryl, -C(O)R<sub>23</sub>, -S(O)<sub>2</sub>R<sub>23</sub>, -C(O)OR<sub>23</sub> or  $C_{1-6}$ alkyl optionally substituted with heterocyclyl, heteroaryl or -C(O)OR<sub>23</sub>, wherein  $R_{23}$  is hydrogen,  $C_{1-6}$ alkyl, aryl, heteroaryl or heterocyclyl.

58. The method of claim 57 wherein, in the compound of formula IV,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $X$  are as indicated in claim 31, and  $R_1''$ ,  $R_2''$ ,  $R_3''$ ,  $R_4''$  and  $R_5''$  are the same or different and independently selected from the group consisting of with  $C_{1-10}$ alkyl,  $C_{1-}$

<sub>10</sub>alkoxy, aryl, heteroaryl, aryloxy, C<sub>1-10</sub>alkylaryl, C<sub>1-10</sub>alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R<sub>18</sub>, S(O)<sub>2</sub>R<sub>18</sub>, S(O)<sub>2</sub>NR<sub>18</sub>R<sub>19</sub>, S(O)<sub>3</sub>R<sub>18</sub>, SR<sub>18</sub>, NO<sub>2</sub>, NR<sub>18</sub>R<sub>19</sub>, OR<sub>18</sub>, CN, CH<sub>2</sub>OH, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub>, OC(O)R<sub>18</sub>, NHC(O)R<sub>18</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)<sub>2</sub>R<sub>18</sub> and C(O)NR<sub>18</sub>R<sub>19</sub>, wherein R<sub>18</sub> is hydrogen, C<sub>1-6</sub>alkyl, heteroaryl or aryl, said C<sub>1-6</sub> alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR<sub>26</sub>R<sub>27</sub>, wherein R<sub>26</sub> and R<sub>27</sub> are independently hydrogen or C<sub>1-6</sub> alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R<sub>19</sub> is hydrogen, C<sub>1-6</sub>alkyl or aryl, and n is 0-3; and R<sub>6</sub>'' is hydrogen, C<sub>1-6</sub> alkyl, heteroaryl, heteroaryl-C<sub>1-6</sub> alkyl, C(O)R<sub>18</sub>, C(O)OR<sub>18</sub> or S(O)<sub>2</sub>R<sub>18</sub>, wherein R<sub>18</sub> is as indicated above.

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59. The method of claim 57 wherein, in the compound of formula IV, R<sub>5</sub>'' is hydrogen or C<sub>1-6</sub> alkyl.

15

60. The method of claim 54 wherein, in the compound of formula IV, R<sub>6</sub>'' is hydrogen or C<sub>1-6</sub> alkyl.

61. The method of claim 57 wherein, in the compound of formula IV, R<sub>5</sub> is hydrogen, hydroxy, C(O)R<sub>14</sub> or C(O)OR<sub>14</sub>, wherein R<sub>14</sub> is as defined in claim 32.

20

62. The method of claim 57 wherein the compound is selected from the group consisting of

3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 57)

[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid methyl ester (Compound 24)

25

[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid ethyl ester (Compound 25)

[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid (Compound 26)

3-[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-propionic acid ethyl ester (Compound 27)

30

3-[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-propionic acid (Compound 28)

3-[1-(2-chloro-thiazol-5-ylmethyl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 29)

3-(1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 30)

35

3-(1-propyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 31)

3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-indole-1-carboxylic acid *tert*-butyl ester (Compound 40)



- 1-hydroxy-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 47)  
(1-Methyl-1H-indol-3-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid (Compound 56)
- 3-(2-phenyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 58)
- 5 3-(1-methyl-2-phenyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 59)
- 3-[2-(4-chloro-phenyl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 60)
- 3-(2-naphthalen-2-yl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 61)
- 10 5-chloro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 62)
- 3-(5-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 63)
- 5,7-difluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 64)
- 5-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 65)
- 6-fluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 66)
- 15 6-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 67)
- 5-hydroxy-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 68)
- 3-(4,5,6,7-tetrafluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 69)
- 3-(6-fluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 70)
- 20 3-[2-(4-chloro-phenyl)-5-nitro-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 71)
- 7-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 72)
- 3-(6-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 73)
- 3-(7-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 74)
- 25 3-(2-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 75)
- 3-(5-fluoro-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 76)
- 3-(5-fluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 77)
- 3-(5-methoxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 78)
- 3-(5-benzyloxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 79)
- 30 3-(6-methoxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 80)
- 3-(5-methoxy-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 81)
- 3-(6-methoxy-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 82)
- 35 3-(4-benzyloxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 83)
- 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-6-carbonitrile (Compound 84)
- 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-7-carbonitrile (Compound 85)

- 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-5-carbonitrile (Compound 86)  
7-fluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 87)  
3-(1H-indol-3-ylmethylene)-6-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 88)  
3-(1H-indol-3-ylmethylene)-6-methanesulfonyl-1,3-dihydro-indol-2-one (Compound  
5 89)  
3-(1H-indol-3-ylmethylene)-5-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 90)  
3-(1H-indol-3-ylmethylene)-5,6-dimethoxy-1,3-dihydro-indol-2-one (Compound 91)  
4,5-difluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 92)  
3-(1H-indol-3-ylmethylene)-5-methoxy-1,3-dihydro-indol-2-one (Compound 92A)  
10 6-chloro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 93) and  
3-[1-Methyl-2-(4-methyl-piperazin-1-yl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-  
one (Compound 94)